

INVENTOR SEARCH

=> d ibib abs hitstr 17 1-2

L7 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:673295 HCAPLUS Full-text

DOCUMENT NUMBER: 143:173137

TITLE: 2-Amino-04-substituted pteridines and their use as inhibitors of O6-alkylguanine-DNA alkyltransferase

INVENTOR(S): Moschel, Robert C.; Nelson, Michael E.; Pegg, Anthony E.; Loktionova, Natalia A.

PATENT ASSIGNEE(S): Government of the United States of America, Represented by the Secretary Department of Health and Human Services, USA; The Penn State Research Foundation

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

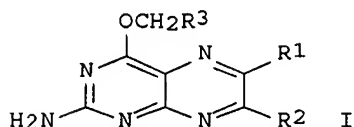
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

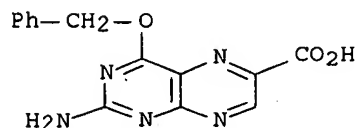
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRIORITY APPLN. INFO.:			US 2004-534519P	P 20040106
			WO 2004-US41577	W 20041210
OTHER SOURCE(S):			CASREACT 143:173137; MARPAT 143:173137	
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10/585,566

RN 737817-21-9 HCAPLUS

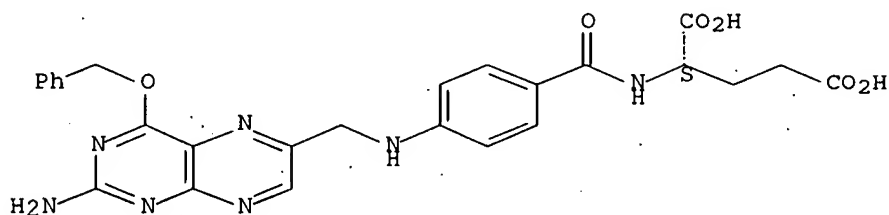
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RN 737817-23-1 HCAPLUS

CN L-Glutamic acid, N-[4-[[[2-amino-4-(phenylmethoxy)-6-pteridinyl)methyl]amino]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 13005-91-9 19916-73-5, O6-Benzylguanine

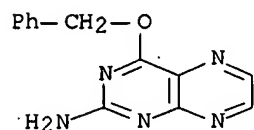
101092-03-9, 2-Amino-4-(benzyloxy)-6,7-dimethylpteridine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of 2-amino-04-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)

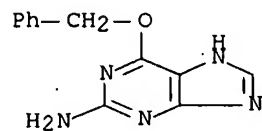
RN 13005-91-9 HCAPLUS

CN 2-Pteridinamine, 4-(phenylmethoxy)- (CA INDEX NAME)



RN 19916-73-5 HCAPLUS

CN 9H-Purin-2-amine, 6-(phenylmethoxy)- (CA INDEX NAME)



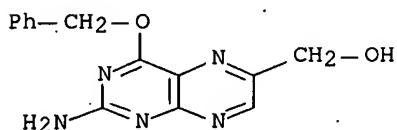
RN 101092-03-9 HCAPLUS

CN 2-Pteridinamine, 6,7-dimethyl-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

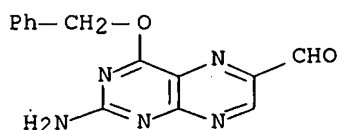
- AB Pteridine derivs., such as I [R1, R2 = H, CHO, alkyl, carboxyl, hydroxyalkyl, formylalkyl, carboxyalkyl, etc.; R3 = Ph, heterocyclyl, etc.], were prepared for use in pharmaceutical compns. which enhance the chemotherapeutic effectiveness of cancer treatment agents, such as lomustine, carmustine, semustine, nimustine, fotomustine, mitozolomide, clomesone, temozolomide, dacarbazine, procarbazine and streptozocin, by deactivating the O6-alkylguanine-DNA alkyltransferase (AGT) enzyme inhibit the reaction of the AGT enzyme with an alkylated DNA. Thus, 2-amino-4-(benzyloxy)-6-hydroxymethylpteridine I (R1 = CH2OH, R2 = H, R3 = Ph) was prepared in 28.1% yield via a cyclocondensation reaction of 2,4,5-triamino-6-(benzyloxy)pyrimidine with dihydroxyacetone dimer using sodium ascorbate in DMA/H2O. The prepared pteridines were assayed for AGT inhibitory activity and for cytotoxicity against HT29 and A549 human cancer cell lines.
- IT 77271-19-3, O6-Alkylguanine-DNA alkyltransferase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of 2-amino-O4-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)
- RN 77271-19-3 HCAPLUS
- CN Methyltransferase, deoxyribonucleate (O-methylguanine)-protein (cysteine)
 (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

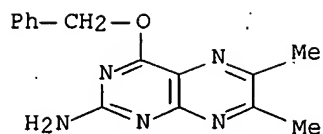
- IT 737817-20-8P, 2-Amino-4-(benzyloxy)-6-hydroxymethylpteridine
 737817-22-0P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 2-amino-O4-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)
- RN 737817-20-8 HCAPLUS
- CN 6-Pteridinemethanol, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME).



- RN 737817-22-0 HCAPLUS
- CN 6-Pteridinecarboxaldehyde, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)

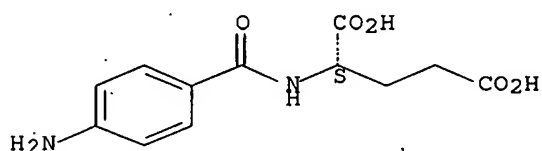


- IT 737817-21-9P 737817-23-1P, O4-Benzylfolic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2-amino-O4-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)

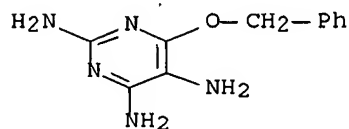


IT 4271-30-1 19916-72-4, 2,4,5-Triamino-6-(benzyloxy)pyrimidine 26776-70-5, Dihydroxyacetone dimer
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 2-amino-04-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)
 RN 4271-30-1 HCAPLUS
 CN L-Glutamic acid, N-(4-aminobenzoyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



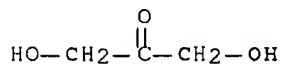
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 CN 2,4,5-Pyrimidinetriamine, 6-(phenylmethoxy)- (CA INDEX NAME)



RN 26776-70-5 HCAPLUS
 CN 2-Propanone, 1,3-dihydroxy-, dimer (CA INDEX NAME)

CM . 1

CRN 96-26-4
 CMF C3 H6 O3



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:498199 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:184590
 TITLE: 2-Amino-04-benzylpteridine Derivatives: Potent Inactivators of O6-Alkylguanine-DNA Alkyltransferase
 AUTHOR(S): Nelson, Michael E.; Loktionova, Natalia

A.; Pegg, Anthony E.; Moschel,
Robert C.

CORPORATE SOURCE: Laboratory of Comparative Carcinogenesis, National
Cancer Institute at Frederick, Frederick, MD, 21702,
USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(15),
3887-3891

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:184590

AB 2-Amino-04-benzylpteridine (1), 2-amino-04-benzyl-6,7-dimethylpteridine (2),
2-amino-04-benzyl-6-hydroxymethylpteridine (4), 2-amino-04-benzylpteridine-6-
carboxylic acid (5), 2-amino-04-benzyl-6-formylpteridine (6), and 04-
benzylfolic acid (7) are shown to be as potent or more potent inactivators of
the human DNA repair protein O6-alkylguanine-DNA alkyltransferase
(alkyltransferase) in vitro than O6-benzylguanine, the prototype
alkyltransferase inactivator currently in clin. trials. Addnl., the neg.
charged (at physiol. pH) inactivators 2-amino-04-benzylpteridine-6-carboxylic
acid (5) and 04-benzylfolic acid (7) are far more water soluble than O6-
benzylguanine. The activity of 04-benzylfolic acid (7) is particularly
noteworthy because it is roughly 30 times more active than O6-benzylguanine
against the wild-type alkyltransferase and is even capable of inactivating the
P140K mutant alkyltransferase that is resistant to inactivation by O6-
benzylguanine. All the pteridine derivs. except 2-amino-04-benzylpteridine-6-
carboxylic acid are effective in enhancing cell killing by 1,3-bis(2-
chloroethyl)-1-nitrosourea (BCNU). However, the effectiveness of 04-
benzylfolic acid as an adjuvant for cell killing by BCNU appears to be a function
of a cell's α -folate receptor expression. Thus, 04-benzylfolic acid is least
effective as an adjuvant in A549 cells (which express little if any receptor),
is moderately effective in HT29 cells (which express low levels of the
receptor), but is very effective in KB cells (which are known to express high
levels of the α -folate receptor). Therefore, 04-benzylfolic acid shows
promise as an agent for possible tumor-selective alkyltransferase
inactivation, which suggests it may prove to be superior to O6-benzylguanine
as a chemotherapy adjuvant.

IT 77271-19-3, O6-Alkylguanine-DNA alkyltransferase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and structure-activity relationship of 2-amino-04-
benzylpteridine derivs. as potent inactivators of O6-alkylguanine-DNA
alkyltransferase)

RN 77271-19-3 HCAPLUS

CN Methyltransferase, deoxyribonucleate (O-methylguanine)-protein (cysteine)
(CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

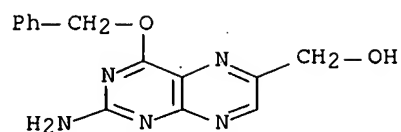
IT 737817-20-8P 737817-22-0P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); RCT
(Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); RACT (Reactant or reagent); USES
(Uses)

(preparation and structure-activity relationship of 2-amino-04-
benzylpteridine derivs. as potent inactivators of O6-alkylguanine-DNA
alkyltransferase)

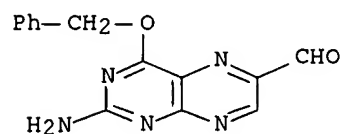
RN 737817-20-8 HCAPLUS

CN 6-Pteridinemethanol, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



RN 737817-22-0 HCAPLUS

CN 6-Pteridinecarboxaldehyde, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



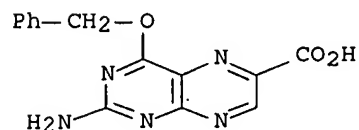
IT 737817-21-9P 737817-23-1P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relationship of 2-amino-04-benzylpteridine derivs. as potent inactivators of O6-alkylguanine-DNA alkyltransferase)

RN 737817-21-9 HCAPLUS

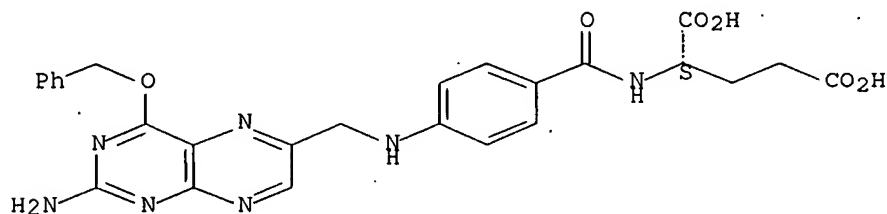
CN 6-Pteridinecarboxylic acid, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



RN 737817-23-1 HCAPLUS

CN L-Glutamic acid, N-[4-[[[2-amino-4-(phenylmethoxy)-6-pteridiny]methyl]amino]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 13005-91-9 101092-03-9

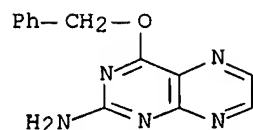
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation and structure-activity relationship of 2-amino-04-benzylpteridine derivs. as potent inactivators of O6-alkylguanine-DNA alkyltransferase)

RN 13005-91-9 HCAPLUS

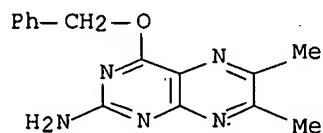
10/585,566

CN 2-Pteridinamine, 4-(phenylmethoxy)- (CA INDEX NAME)



RN 101092-03-9 HCAPLUS

CN 2-Pteridinamine, 6,7-dimethyl-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



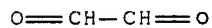
IT 107-22-2, Glyoxal 431-03-8, Diacetyl 4271-30-1
, L-Glutamic acid, n-(4-aminobenzoyl)- 19916-72-4
26776-70-5, Dihydroxyacetone dimer

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and structure-activity relationship of 2-amino-04-benzylpteridine derivs. as potent inactivators of 06-alkylguanine-DNA alkyltransferase)

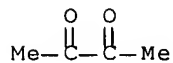
RN 107-22-2 HCAPLUS

CN Ethanedial (CA INDEX NAME)



RN 431-03-8 HCAPLUS

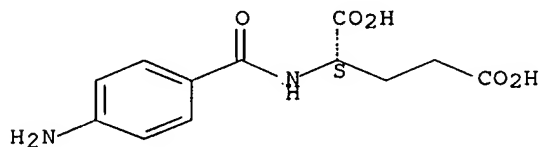
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RN 4271-30-1 HCAPLUS

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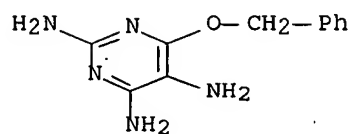
Absolute stereochemistry. Rotation (-).



RN 19916-72-4 HCAPLUS

10/585,566

CN 2,4,5-Pyrimidinetriamine, 6-(phenylmethoxy)- (CA INDEX NAME)



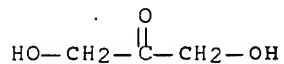
RN 26776-70-5 HCAPLUS

CN 2-Propanone, 1,3-dihydroxy-, dimer (CA INDEX NAME)

CM 1

CRN 96-26-4

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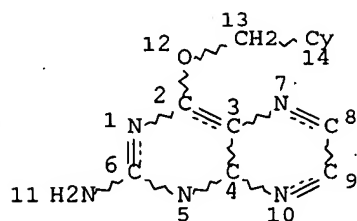
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RESULTS FROM REGISTRY, CAPLUS, AND USPATFULL

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L8 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L10 30 SEA FILE=REGISTRY SSS FUL L8

L11 49 SEA FILE=HCAPLUS ABB=ON L10

L12 41 SEA FILE=HCAPLUS ABB=ON L11 AND (PRD<20060829 OR PD<20060829)

L13 5 SEA FILE=HCAPLUS ABB=ON L12 AND ?ALKYLTRANSFERASE?

L14 3 SEA FILE=USPATFULL ABB=ON L12 AND ?ALKYLTRANSFERASE?

L15 6 DUP REMOV L13 L14 (2 DUPLICATES REMOVED)

L16 8 SEA L12 AND ?ALKYLGUANINE?

L17 8 SEA L13 OR L16

L18 8 SEA L15 OR L17

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L18 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:673295 HCAPLUS Full-text

DOCUMENT NUMBER: 143:173137

TITLE: 2-Amino-04-substituted pteridines and their use as
inhibitors of 06-alkylguanine-DNA
alkyltransferase

INVENTOR(S): Moschel, Robert C.; Nelson, Michael E.; Pegg, Anthony
E.; Loktionova, Natalia A.

PATENT ASSIGNEE(S): Government of the United States of America,
Represented by the Secretary Department of Health and
Human Services, USA; The Penn State Research
Foundation

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

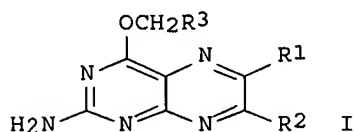
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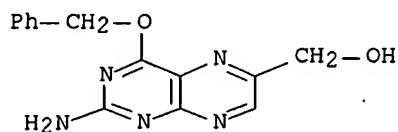
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EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
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CA 2552826 A1 20050728 CA 2004-2552826 20041210 <--
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BA, HR, IS, YU
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PRIORITY APPLN. INFO.: US 2004-534519P P 20040106 <--
WO 2004-US41577 W 20041210 <--
OTHER SOURCE(S): CASREACT 143:173137; MARPAT 143:173137
GI



AB Pteridine derivs., such as I [R1, R2 = H, CHO, alkyl, carboxyl, hydroxyalkyl, formylalkyl, carboxyalkyl, etc.; R3 = Ph, heterocyclyl, etc.], were prepared for use in pharmaceutical compns. which enhance the chemotherapeutic effectiveness of cancer treatment agents, such as lomustine, carmustine, semustine, nimustine, fotomustine, mitozolomide, clomesone, temozolomide, dacarbazine, procarbazine and streptozocin, by deactivating the O6-alkylguanine-DNA alkyltransferase (AGT) enzyme inhibit the reaction of the AGT enzyme with an alkylated DNA. Thus, 2-amino-4-(benzyloxy)-6-hydroxymethylpteridine I (R1 = CH2OH, R2 = H, R3 = Ph) was prepared in 28.1% yield via a cyclocondensation reaction of 2,4,5-triamino-6-(benzyloxy)pyrimidine with dihydroxyacetone dimer using sodium ascorbate in DMA/H2O. The prepared pteridines were assayed for AGT inhibitory activity and for cytotoxicity against HT29 and A549 human cancer cell lines.

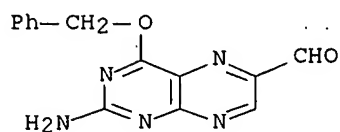
IT 737817-20-8P, 2-Amino-4-(benzyloxy)-6-hydroxymethylpteridine
737817-22-0P.
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-amino-04-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)

RN 737817-20-8 HCAPLUS
CN 6-Pteridinemethanol, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



RN 737817-22-0 HCAPLUS

CN 6-Pteridinecarboxaldehyde, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



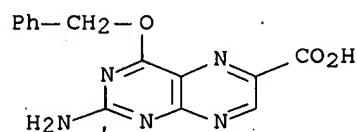
IT 737817-21-9P 737817-23-1P, O4-Benzylfolic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-amino-O4-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)

RN 737817-21-9 HCAPLUS

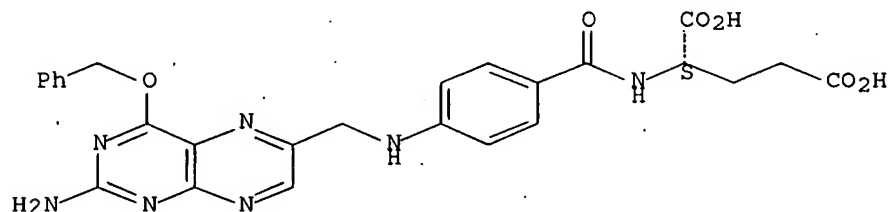
CN 6-Pteridinecarboxylic acid, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



RN 737817-23-1 HCAPLUS

CN L-Glutamic acid, N-[4-[[[2-amino-4-(phenylmethoxy)-6-pteridinyl]methyl]amino]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.



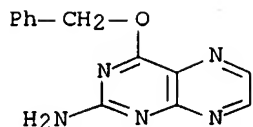
IT 13005-91-9 101092-03-9, 2-Amino-4-(benzyloxy)-6,7-dimethylpteridine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of 2-amino-O4-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)

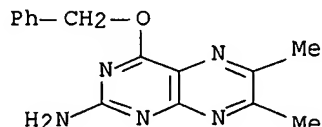
RN 13005-91-9 HCAPLUS

CN 2-Pteridinamine, 4-(phenylmethoxy)- (CA INDEX NAME)



RN 101092-03-9 HCAPLUS

CN 2-Pteridinamine, 6,7-dimethyl-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:498199 HCAPLUS Full-text

DOCUMENT NUMBER: 141:184590

TITLE: 2-Amino-04-benzylpteridine Derivatives: Potent Inactivators of O6-**Alkylguanine**-DNA **Alkyltransferase**

AUTHOR(S): Nelson, Michael E.; Loktionova, Natalia A.; Pegg, Anthony E.; Moschel, Robert C.

CORPORATE SOURCE: Laboratory of Comparative Carcinogenesis, National Cancer Institute at Frederick, Frederick, MD, 21702, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(15), 3887-3891
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:184590

AB 2-Amino-04-benzylpteridine (1), 2-amino-04-benzyl-6,7-dimethylpteridine (2), 2-amino-04-benzyl-6-hydroxymethylpteridine (4), 2-amino-04-benzylpteridine-6-carboxylic acid (5), 2-amino-04-benzyl-6-formylpteridine (6), and 04-benzylfolic acid (7) are shown to be as potent or more potent inactivators of the human DNA repair protein O6-**alkylguanine**-DNA **alkyltransferase** (**alkyltransferase**) in vitro than O6-benzylguanine, the prototype **alkyltransferase** inactivator currently in clin. trials. Addnl., the neg. charged (at physiol. pH) inactivators 2-amino-04-benzylpteridine-6-carboxylic acid (5) and 04-benzylfolate (7) are far more water soluble than O6-benzylguanine. The activity of 04-benzylfolic acid (7) is particularly noteworthy because it is roughly 30 times more active than O6-benzylguanine against the wild-type **alkyltransferase** and is even capable of inactivating the P140K mutant **alkyltransferase** that is resistant to inactivation by O6-benzylguanine. All the pteridine derivs. except 2-amino-04-benzylpteridine-6-carboxylic acid are effective in enhancing cell killing by 1,3-bis(2-chloroethyl)-1-nitrosourea (BCNU). However, the effectiveness of 04-benzylfolate as an adjuvant for cell killing by BCNU appears to be a function of a cell's α -folate receptor expression. Thus, 04-benzylfolate is least

effective as an adjuvant in A549 cells (which express little if any receptor), is moderately effective in HT29 cells (which express low levels of the receptor), but is very effective in KB cells (which are known to express high levels of the α -folate receptor). Therefore, 04-benzylfolic acid shows promise as an agent for possible tumor-selective **alkyltransferase** inactivation, which suggests it may prove to be superior to 06-benzylguanine as a chemotherapy adjuvant.

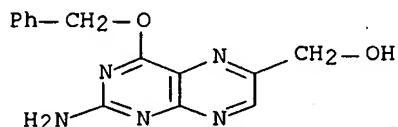
IT 737817-20-8P 737817-22-0P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and structure-activity relationship of 2-amino-04-benzylpteridine derivs. as potent inactivators of 06-**alkylguanine-DNA alkyltransferase**)

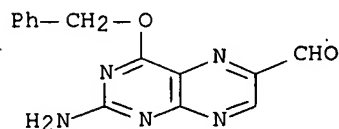
RN 737817-20-8 HCAPLUS

CN 6-Pteridinemethanol, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



RN 737817-22-0 HCAPLUS

CN 6-Pteridinecarboxaldehyde, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



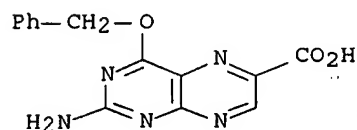
IT 737817-21-9P 737817-23-1P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relationship of 2-amino-04-benzylpteridine derivs. as potent inactivators of 06-**alkylguanine-DNA alkyltransferase**)

RN 737817-21-9 HCAPLUS

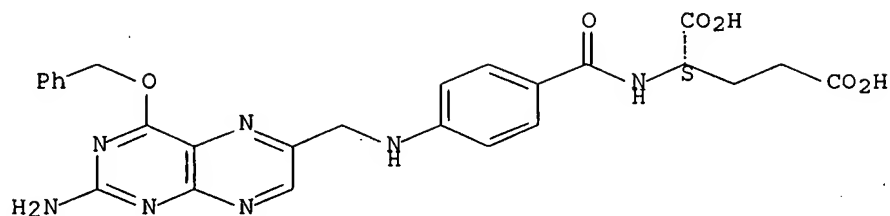
CN 6-Pteridinecarboxylic acid, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



RN 737817-23-1 HCAPLUS

CN L-Glutamic acid, N-[4-[[[2-amino-4-(phenylmethoxy)-6-pteridinyl]methyl]amino]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

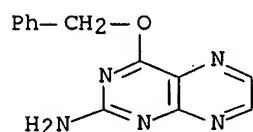


IT 13005-91-9 101092-03-9

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation and structure-activity relationship of 2-amino-04-benzylpteridine derivs. as potent inactivators of O6-alkylguanine-DNA alkyltransferase)

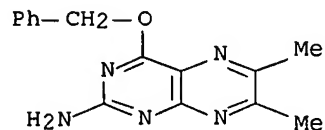
RN 13005-91-9 HCAPLUS

CN 2-Pteridinamine, 4-(phenylmethoxy)- (CA INDEX NAME)



RN 101092-03-9 HCAPLUS

CN 2-Pteridinamine, 6,7-dimethyl-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:531657 HCAPLUS Full-text

DOCUMENT NUMBER: 133:135165

TITLE: Preparation of pyrimidine derivatives and guanine derivatives, and their use in treating tumor cells

INVENTOR(S): McMurry, Thomas Brian Hamilton; McElhinney, Robert Stanley; McCormick, Joan Elizabeth; Donnelly, Dorothy Josephine; Murray, Paul; Carola, Christophe; Elder, Rhoderick Hugh; Kelly, Jane; Margison, Geoffrey Paul; Watson, Amanda Jean; Rafferty, Joseph Anthony; Willington, Mark Andrew; Middleton, Mark Ross

PATENT ASSIGNEE(S): Cancer Research Campaign Technology Limited, UK

SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 568,576.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6096724	A	20000801	US 1998-88740	19980602 <--
US 6043228	A	20000328	US 1995-568576	19951207 <--
US 5929046	A	19990727	US 1995-572966	19951215 <--
WO 9720843	A1	19970612	WO 1996-IE84	19961209 <--

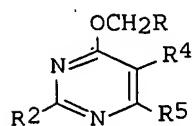
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

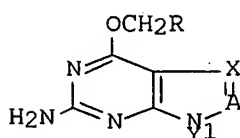
US 1995-568576	A2 19951207 <--
US 1995-572966	A2 19951215 <--
WO 1996-IE84	A2 19961209 <--
IE 1993-432	A 19930608 <--
GB 1994-10421	A 19940523 <--
WO 1994-IE31	A2 19940608 <--

OTHER SOURCE(S): MARPAT 133:135165

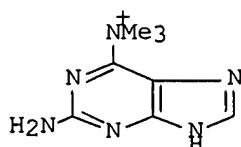
GI



I



II



III

AB The present invention provides certain 6-hetarylalkyloxy pyrimidine derivs. I [R is a cyclic group having at least one 5- or 6-membered heterocyclic ring; optionally with a carbocyclic or heterocyclic ring fused thereto; the or each heterocyclic ring having at least one hetero atom chosen from O, N, or S, or a substituted derivative thereof, or an (un)substituted Ph; R₂ = H, C1-5-alkyl, halogen or NHY₁; R₄, R₅ = H, NH₂ or NOn; n = 1, 2; or R₄ and R₅ together with the pyrimidine ring form a 5- or 6-membered ring structure containing one or more heterocyclic atoms; Y₁ = H, ribosyl, deoxyribosyl, arabinosyl, CH(XR'')R'''; X = O, S; R'', R''' = (un)substituted alkyl] and guanine analogs II [X = CH, N; A = CH, N, provided that if X = N and A = CH, Y₁ is not H or ribosyl, deoxyribosyl, CH(XR'')R'''] and pharmaceutically acceptable salts thereof, which exhibit the ability to deplete O6-alkylguanine-DNA alkyltransferase (ATase) activity. Thus, O6-(4-bromophenyl)guanine (II; R = 4-bromo-2-thienyl, A = CH, X = N, Y₁ = H) was prepared via reaction of 4-

bromothienyl alc. with guanine ammonium salt III·Cl. II (R = 4-bromo-2-thienyl, A = CH, X = N, Y1 = H) was active against ATase from various tissues of NU/NU mice [36 fm/mg (tumor); 89.7 fm/mg (liver); 24.3 fm/mg (kidney); 42 fm/mg (bone marrow)] and showed 93% survival rate in mice after 14 days vs. 20 mg/kg BCNU in DBA.

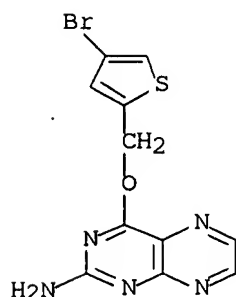
IT 192441-15-9P 192441-17-1P 286941-18-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. and guanine analogs for treating tumor cells and as inhibitors of DNA **alkyltransferase**)

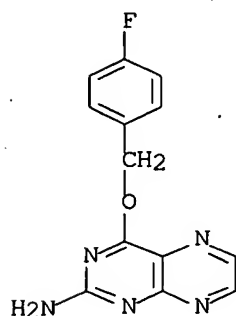
RN 192441-15-9 HCAPLUS

CN 2-Pteridinamine, 4-[(4-bromo-2-thienyl)methoxy]- (9CI) (CA INDEX NAME)



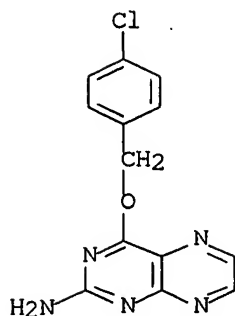
RN 192441-17-1 HCAPLUS

CN 2-Pteridinamine, 4-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 286941-18-2 HCAPLUS

CN 2-Pteridinamine, 4-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:468047 HCAPLUS Full-text

DOCUMENT NUMBER: 131:116252

TITLE: Preparation of 6-heteroarylalkoxypyrimidines and -purines having O6-alkylguanine-DNA alkyltransferase depleting activity.

INVENTOR(S): McMurray, Thomas Brian Hamilton; McElhinney, Robert Stanley; Donnelly, Dorothy Josephine; Murray, Paul; Carola, Christophe; Elder, Rhoderick Hugh; Kelly, Jane; Margison, Geoffrey Paul; Rafferty, Joseph Anthony; Watson, Amanda Jean; Willington, Mark Andrew

PATENT ASSIGNEE(S): Cancer Research Campaign Technology Ltd., UK

SOURCE: U.S., 15 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

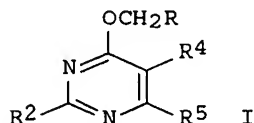
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5929046	A	19990727	US 1995-572966	19951215 <--
WO 9429312	A1	19941222	WO 1994-IE31	19940608 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6043228	A	20000328	US 1995-568576	19951207 <--
CA 2239968	A1	19970612	CA 1996-2239968	19961209 <--
CA 2239968	C	20070123		
WO 9720843	A1	19970612	WO 1996-IE84	19961209 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9720142	A	19970627	AU 1997-20142	19961209 <--
AU 715016	B2	20000113		
EP 874848	A1	19981104	EP 1996-943278	19961209 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

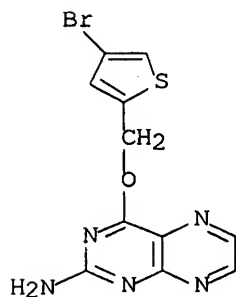
IE, SI, LT, LV, FI, RO
 JP 2000501415 T 20000208 JP 1997-521129 19961209 <--
 US 6096724 A 20000801 US 1998-88740 19980602 <--
 PRIORITY APPLN. INFO.: WO 1994-IE31 A2 19940608 <--
 US 1995-568576 A2 19951207 <--
 IE 1993-432 A 19930608 <--
 GB 1994-10421 A 19940523 <--
 US 1995-572966 A 19951215 <--
 WO 1996-IE84 W 19961209 <--
 OTHER SOURCE(S): MARPAT 131:116252
 GI



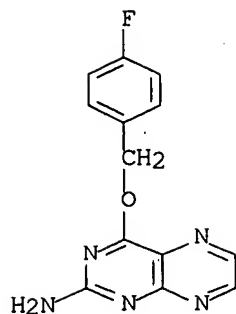
AB Title compds. [I; R = (substituted) cyclic group having ≥1 5-6 membered heterocyclic ring, optionally with a carbocyclic or heterocyclic ring fused thereto, Ph; R² = H, alkyl, halo, NH₂; R⁴, R⁵ = H, NH₂, NO, NO₂; R⁴R⁵ = atoms to form a 5-6 membered ring structure containing ≥1 heteroatoms], were prepared Thus, 06-(piperonyl)-8-aza-7-deazaguanine inactivated ATase with IC₅₀ = 0.0065 μM.

IT 192441-15-9P 192441-17-1P 192441-43-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 6-heteroarylalkoxypyrimidines and -purines having 06-alkylguanine-DNA alkyltransferase depleting activity)

RN 192441-15-9 HCAPLUS
 CN 2-Pteridinamine, 4-[(4-bromo-2-thienyl)methoxy]- (9CI) (CA INDEX NAME)

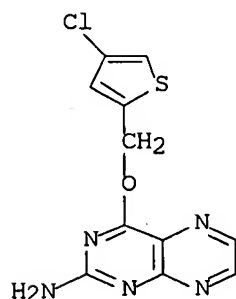


RN 192441-17-1 HCAPLUS
 CN 2-Pteridinamine, 4-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 192441-43-3 HCAPLUS

CN 2-Pteridinamine, 4-[(4-chloro-2-thienyl)methoxy] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:496835 HCAPLUS Full-text

DOCUMENT NUMBER: 127:108802

TITLE: Preparation of pyrimidine and guanine derivatives, and their use in treating tumor cells

INVENTOR(S): McMurry, Thomas Brian Hamilton; McElhinney, Robert Stanley; McCormick, Joan Elizabeth; Donnelly, Dorothy Josephine; Murray, Paul; Carola, Christophe; Elder, Rhoderick Hugh; Kelly, Jane; et al.

PATENT ASSIGNEE(S): UK

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9720843	A1	19970612	WO 1996-IE84	19961209 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,				

MR, NE, SN, TD, TG

US 6043228	A	20000328	US 1995-568576	19951207 <--
US 5929046	A	19990727	US 1995-572966	19951215 <--
CA 2239968	A1	19970612	CA 1996-2239968	19961209 <--
CA 2239968	C	20070123		
AU 9720142	A	19970627	AU 1997-20142	19961209 <--
AU 715016	B2	20000113		
EP 874848	A1	19981104	EP 1996-943278	19961209 <--

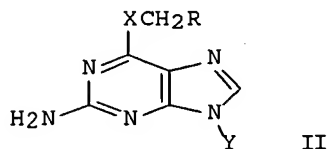
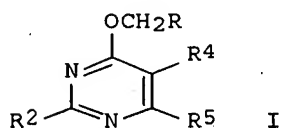
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

JP 2000501415	T	20000208	JP 1997-521129	19961209 <--
US 6096724	A	20000801	US 1998-88740	19980602 <--

PRIORITY APPLN. INFO.:

US 1995-568576	A	19951207 <--
US 1995-572966	A	19951215 <--
IE 1993-432	A	19930608 <--
GB 1994-10421	A	19940523 <--
WO 1994-IE31	A2	19940608 <--
WO 1996-IE84	W	19961209 <--

OTHER SOURCE(S): MARPAT 127:108802
GI



AB Pyrimidines I (R = heterocyclic ring, Ph, substituted Ph; R₂ = H, alkyl, halogen, NH₂; R₄, R₅ = H, NH-Y' or NO_n; Y = H, ribosyl, deoxyribosyl, arabinosyl; n = 1 or 2; R₄R₅ = 5- or 6-membered heterocyclic ring) and guanines II (X = O, S; R = heterocyclic ring, Ph, substituted Ph; Y = H, ribosyl, deoxyribosyl, arabinosyl) were prepared and exhibited the ability to deplete O6-alkylguanine-DNA alkyltransferase (ATase) activity in tumor cells. Thus, guanine II (X = O, Y = H, R = 4-bromophenyl) was prepared and showed ATase mean activity of ~36 fm/mg, compared to 125 fm/mg in the control, when tested in tumor tissue of NU/NU mice.

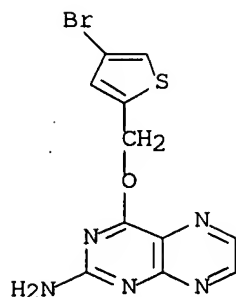
IT 192441-15-9P 192441-17-1P 192441-43-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine and guanine derivs. for use in treating tumor cells)

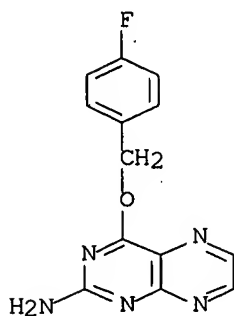
RN 192441-15-9 HCAPLUS

CN 2-Pteridinamine, 4-[(4-bromo-2-thienyl)methoxy]- (9CI) (CA INDEX NAME)



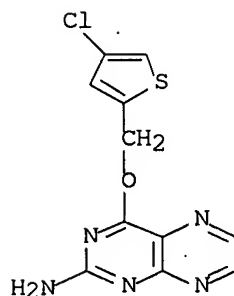
RN 192441-17-1 HCAPLUS

CN 2-Pteridinamine, 4-[(4-fluorophenyl)methoxy] - (9CI) (CA INDEX NAME)



RN 192441-43-3 HCAPLUS

CN 2-Pteridinamine, 4-[(4-chloro-2-thienyl)methoxy] - (9CI) (CA INDEX NAME)



L18 ANSWER 6 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2007:177931 USPATFULL Full-text

TITLE: 2-Amino-o4-substituted pteridines and their use as
inactivators of o6-alkylguanine-dna
alkyltransferase

INVENTOR(S): Moschel, Rorbert C., Frederick, MD, UNITED STATES
Nelson, Michael E., Derwood, MD, UNITED STATES
Pegg, Anthony E., Hershey, PA, UNITED STATES
Loktionova, Natalia A., Elizabeth Town, PA, UNITED STATES

PATENT ASSIGNEE(S): Government of the United States of America, (U.S. corporation)
 Dept of Health and Human Services, Rockville, MD,
 UNITED STATES (U.S. corporation)
 The Penn State Research Foundation, University Park,
 PA, UNITED STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2007155752	A1	20070705
APPLICATION INFO.:	US 2004-585566	A1	20041210 (10)
	WO 2004-US41577		20041210
			20060829 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-534519P	20040106 (60) <--
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	LEYDIG, VOIT & MAYER, LTD., TWO PRUDENTIAL PLAZA, SUITE 4900, 180 NORTH STETSON AVENUE, CHICAGO, IL, 60601-6731, US	
NUMBER OF CLAIMS:	24	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	2 Drawing Page(s)	
LINE COUNT:	1333	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are pteridine derivatives of formula (I): (I), wherein, for example, R.sub.1 and R.sub.2 are hydrogen, C.sub.1-C.sub.6 alkyl, carboxyl, formyl, C.sub.1-C.sub.6 hydroxyalkyl, C.sub.1-C.sub.6 carboxyalkyl, C.sub.1-C.sub.6 formyl alkyl, C.sub.1-C.sub.6 alkoxy, acyloxy, acyloxyalkyl wherein the alkyl is C.sub.1-C.sub.6, halogen, or hydroxy, or a group of formula II: (II); and R.sub.3 is (a) phenyl or (b) a cyclic group having at least one 5 or 6-membered heterocyclic ring, optionally with a carbocyclic or heterocyclic ring fused thereto, wherein each heterocyclic ring has at least one hetero atom chosen from O, N, or S; or (c) a phenyl group or a cyclic group, the cyclic group optionally with a carbocyclic or heterocyclic ring fused thereto, which is substituted with 1 to 5 substituents. Disclosed also are pharmaceutical compositions, a method of enhancing the chemotherapeutic effectiveness of cancer treatment agents, a method of deactivating the O.sup.6-alkylguanine-DNA alkyltransferase enzyme, and a method of inhibiting the reaction of O.sup.6-alkylguanine -DNA alkyltransferase enzyme with an alkylated DNA. ##STR1##

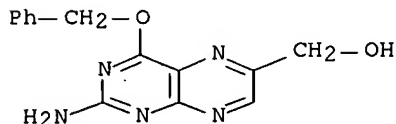
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 737817-20-8P, 2-Amino-4-(benzyloxy)-6-hydroxymethylpteridine
 737817-22-0P

(preparation of 2-amino-04-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)

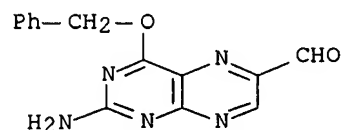
RN 737817-20-8 USPATFULL.

CN 6-Pteridinemethanol, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



RN 737817-22-0 USPATFULL

CN 6-Pteridinecarboxaldehyde, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)

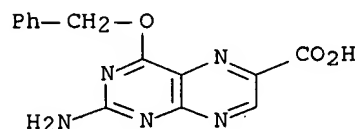


IT 737817-21-9P 737817-23-1P, O4-Benzylfolic acid

(preparation of 2-amino-O4-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)

RN 737817-21-9 USPATFULL

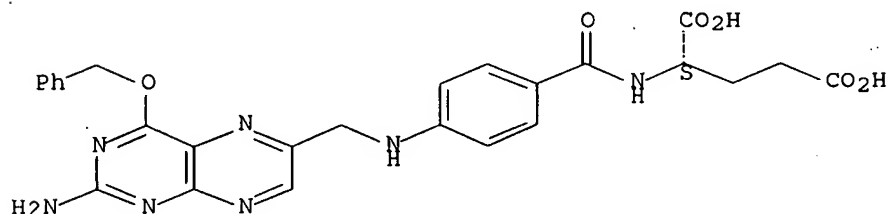
CN 6-Pteridinecarboxylic acid, 2-amino-4-(phenylmethoxy)- (CA INDEX NAME)



RN 737817-23-1 USPATFULL

CN L-Glutamic acid, N-[4-[[[2-amino-4-(phenylmethoxy)-6-pteridiny]methyl]amino]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

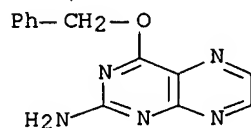


IT 13005-91-9 101092-03-9, 2-Amino-4-(benzyloxy)-6,7-dimethylpteridine

(preparation of 2-amino-O4-substituted pteridines for therapeutic use as inhibitors of O6-alkylguanine-DNA alkyltransferase)

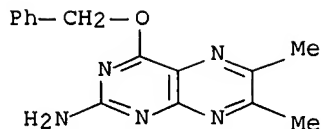
RN 13005-91-9 USPATFULL

CN 2-Pteridinamine, 4-(phenylmethoxy)- (CA INDEX NAME)



RN 101092-03-9 USPATFULL

CN 2-Pteridinamine, 6,7-dimethyl-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L18 ANSWER 7 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2000:98409 USPATFULL Full-text

TITLE: Pyrimidine derivatives and guanine derivatives, and their use in treating tumor cells

INVENTOR(S): McMurry, Thomas Brian Hamilton, Dublin 2, Ireland
 McElhinney, Robert Stanley, Dublin 2, Ireland
 McCormick, Joan Elizabeth, Dublin 2, Ireland
 Donnelly, Dorothy Josephine, Dublin 2, Ireland
 Murray, Paul, Dublin 2, Ireland
 Carola, Christophe, Dublin 2, Ireland
 Elder, Rhoderick Hugh, Manchester, United Kingdom
 Kelly, Jane, Manchester, United Kingdom
 Margison, Geoffrey Paul, Manchester, United Kingdom
 Watson, Amanda Jean, Manchester, United Kingdom
 Rafferty, Joseph Anthony, Manchester, United Kingdom
 Willington, Mark Andrew, Manchester, United Kingdom
 Middleton, Mark Ross, Manchester, United Kingdom
 PATENT ASSIGNEE(S): Cancer Research Campaign Technology Limited, London, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6096724		20000801 <--
APPLICATION INFO.:	US 1998-88740		19980602 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-568576, filed on 7 Dec 1995 And a continuation-in-part of Ser. No. US 1995-572966, filed on 15 Dec 1995, now patented, Pat. No. US 5929046 And a continuation-in-part of Ser. No. WO 1996-IE84, filed on 9 Dec 1996		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Wilson, James O.		
LEGAL REPRESENTATIVE:	Smith, Gambrell & Russell, LLP		
NUMBER OF CLAIMS:	34		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	35 Drawing Figure(s); 35 Drawing Page(s)		
LINE COUNT:	2902		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			

AB The present invention provides certain 6-hetarylalkyloxy pyrimidine derivatives of formula II ##STR1## wherein R is (i) a cyclic group having at least one 5- or 6-membered heterocyclic ring, optionally with a carbocyclic or heterocyclic ring fused thereto, the or each heterocyclic ring having at least one hetero atom chosen from O, N, or S, or a substituted derivative thereof; or (ii) phenyl or a substituted derivative thereof,

R.sup.2 is selected from H, C.sub.1 -C.sub.5 alkyl, halogen or NH.sub.2,

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R.sup.4 and R.sup.5 which are the same or different are selected from H, NH.sub.2 or NO.sub.n where n=1 or 2, or R.sup.4 and R.sup.5 together with the pyrimidine ring form a 5- or 6-membered ring structure containing one or more heterocyclic atoms, and pharmaceutically acceptable salts thereof, exhibit the ability to deplete O.sup.6 - **alkylguanine-DNA alkyltransferase** (ATase) activity.

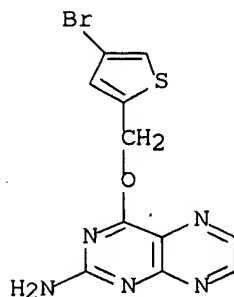
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 192441-15-9P 192441-17-1P 286941-18-2P

(preparation of pyrimidine derivs. and guanine analogs for treating tumor cells and as inhibitors of DNA alkyltransferase)

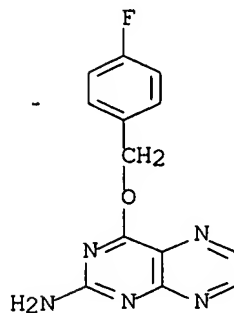
RN 192441-15-9 USPATFULL

CN 2-Pteridinamine, 4-[(4-bromo-2-thienyl)methoxy]- (9CI) (CA INDEX NAME)



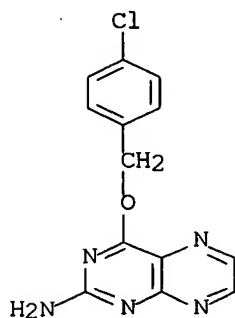
RN 192441-17-1 USPATFULL

CN 2-Pteridinamine, 4-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 286941-18-2 USPATFULL

CN 2-Pteridinamine, 4-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



L18 ANSWER 8 OF 8 USPATFULL on STN

ACCESSION NUMBER: 1999:85397 USPATFULL Full-text

TITLE: Pyrimidine and purine derivatives and their use in treating tumour cells

INVENTOR(S): McMurry, Thomas Brian Hamilton, Killiney, Ireland
 McElhinney, Robert Stanley, Delgany, Ireland
 Donnelly, Dorothy Josephine, Dublin, Ireland
 Murray, Paul, Nurney, Ireland
 Carola, Christophe, St. Leu-la-Forêt, France
 Elder, Rhoderick Hugh, Cheshire, United Kingdom
 Kelly, Jane, Manchester, United Kingdom
 Margison, Geoffrey Paul, Poynton, United Kingdom
 Rafferty, Joseph Anthony, Stockport, United Kingdom
 Watson, Amanda Jean, Cheshire, United Kingdom
 Willington, Mark Andrew, Cheshire, United Kingdom
 PATENT ASSIGNEE(S): Cancer Research Campaign Technology Limited, London, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5929046		19990727 <--
APPLICATION INFO.:	US 1995-572966		19951215 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-568576, filed on 7 Dec 1995 which is a continuation-in-part of Ser. No. WO 1994-IE31, filed on 8 Jun 1994		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Kight, John		
ASSISTANT EXAMINER:	Crane, L. Eric		
LEGAL REPRESENTATIVE:	Beveridge, DeGrandi, Weilacher & Young, LLP		
NUMBER OF CLAIMS:	19		
EXEMPLARY CLAIM:	1,14,18,19		
LINE COUNT:	1359		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides certain 6-hetarylalkyloxy pyrimidine derivatives of formula II ##STR1## wherein R is (i) a cyclic group having at least one 5- or 6-membered heterocyclic ring, optionally with a carbocyclic or heterocyclic ring fused thereto, the or each heterocyclic ring having at least one hetero atom chosen from O, N, or S, or a substituted derivative thereof; or (ii) phenyl or a substituted derivative thereof,

R.sub.2 is selected from H, C.sub.1 -C.sub.5 alkyl, halogen or NH.sub.2,

R.sup.4 and R.sup.5 which are the same or different are selected from

H, NH.sub.2 or NO.sub.n where n=1 or 2, or R.sup.4 and R.sup.5 together with the pyrimidine ring form a 5- or 6-membered ring structure containing one or more heterocyclic atoms, and pharmaceutically acceptable salts thereof, exhibit the ability to deplete O.sup.6 - **alkylguanine-DNA alkyltransferase** (ATase) activity.

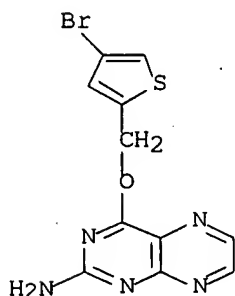
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 192441-15-9P 192441-17-1P 192441-43-3P

(preparation of 6-heteroarylalkoxypyrimidines and -purines having O6-alkylguanine-DNA alkyltransferase depleting activity)

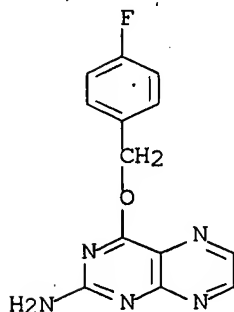
RN 192441-15-9 USPATFULL

CN 2-Pteridinamine, 4-[(4-bromo-2-thienyl)methoxy]- (9CI) (CA INDEX NAME)



RN 192441-17-1 USPATFULL

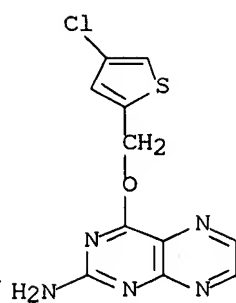
CN 2-Pteridinamine, 4-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 192441-43-3 USPATFULL

CN 2-Pteridinamine, 4-[(4-chloro-2-thienyl)methoxy]- (9CI) (CA INDEX NAME)

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SEARCH HISTORY

=> d his ful

(FILE 'HOME' ENTERED AT 17:26:37 ON 09 OCT 2007)

FILE 'HCAPLUS' ENTERED AT 17:28:50 ON 09 OCT 2007

E MOSCHEL RORBERT C/AU
 L1 106 SEA ABB=ON ("MOSCHEL ROBERT C"/AU OR "MOSCHEL ROBERT CARL"/AU)
 E NELSON MICHAEL E/AU
 L2 21 SEA ABB=ON ("NELSON MICHAEL E"/AU OR "NELSON MICHAEL EARL"/AU
 OR "NELSON MICHAEL ERIC"/AU)
 E PEGG ANTHONY E/AU
 L3 491 SEA ABB=ON ("PEGG ANTHONY A"/AU OR "PEGG ANTHONY E"/AU OR
 "PEGG ANTHONY E E"/AU OR "PEGG ANTONY E"/AU)
 E LOKTIONOVA NATALIA A/AU
 L4 23 SEA ABB=ON ("LOKTIONOVA N L"/AU OR "LOKTIONOVA NATALIA"/AU OR
 "LOKTIONOVA NATALIA A"/AU OR "LOKTIONOVA NATALYA A"/AU OR
 "LOKTIONOVA NATASHA A"/AU)
 L5 3 SEA ABB=ON L1 AND L2 AND L3 AND L4
 SELECT RN L5 1-3

FILE 'REGISTRY' ENTERED AT 17:30:02 ON 09 OCT 2007

L6 13 SEA ABB=ON (101092-03-9/BI OR 13005-91-9/BI OR 19916-72-4/BI
 OR 26776-70-5/BI OR 4271-30-1/BI OR 737817-20-8/BI OR 737817-21
 -9/BI OR 737817-22-0/BI OR 737817-23-1/BI OR 77271-19-3/BI OR
 107-22-2/BI OR 19916-73-5/BI OR 431-03-8/BI)

FILE 'HCAPLUS' ENTERED AT 17:30:08 ON 09 OCT 2007

L7 2 SEA ABB=ON L5 AND L6

FILE 'REGISTRY' ENTERED AT 17:30:42 ON 09 OCT 2007

L8 STR
 L9 1 SEA SSS SAM L8
 L10 30 SEA SSS FUL L8

FILE 'HCAPLUS' ENTERED AT 17:32:41 ON 09 OCT 2007

L11 49 SEA ABB=ON L10
 L12 41 SEA ABB=ON L11 AND (PRD<20060829 OR PD<20060829)
 L13 5 SEA ABB=ON L12 AND ?ALKYLTRANSFERASE?

FILE 'USPATFULL' ENTERED AT 17:34:21 ON 09 OCT 2007

L14 3 SEA ABB=ON L12 AND ?ALKYLTRANSFERASE?

FILE 'HCAPLUS, USPATFULL' ENTERED AT 17:34:33 ON 09 OCT 2007

L15 6 DUP REMOV L13 L14 (2 DUPLICATES REMOVED)

FILE 'HCAPLUS, USPATFULL' ENTERED AT 17:34:45 ON 09 OCT 2007

L16 8 SEA ABB=ON L12 AND ?ALKYLGUANINE?
 L17 8 SEA ABB=ON L13 OR L16
 L18 8 SEA ABB=ON L15 OR L17
 SAV L12 JAI566L12/A (**Results saved, should you want to see
 Additional citations.)

FILE HOME

FILE HCAPLUS

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FILE LAST UPDATED: 8 Oct 2007 (20071008/ED)

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FILE REGISTRY

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DICTIONARY FILE UPDATES: 8 OCT 2007 HIGHEST RN 949630-10-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 Oct 2007 (20071009/PD)
FILE LAST UPDATED: 9 Oct 2007 (20071009/ED)
HIGHEST GRANTED PATENT NUMBER: US7281274
HIGHEST APPLICATION PUBLICATION NUMBER: US2007234457
CA INDEXING IS CURRENT THROUGH 9 Oct 2007 (20071009/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 Oct 2007 (20071009/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2007
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2007